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 NEWS  1          Web Page for STN Seminar Schedule - N. America
 NEWS  2  OCT 02  CA/CAplus enhanced with pre-1907 records from Chemisches
                  Zentralblatt
 NEWS  3  OCT 19  BEILSTEIN updated with new compounds
 NEWS  4  NOV 15  Derwent Indian patent publication number format enhanced
 NEWS  5  NOV 19  WPIX enhanced with XML display format
 NEWS  6  NOV 30  ICSD reloaded with enhancements
 NEWS  7  DEC 04  LINPADOCDB now available on STN
 NEWS  8  DEC 14  BEILSTEIN pricing structure to change
 NEWS  9  DEC 17  USPATOLD added to additional database clusters
 NEWS 10  DEC 17  IMSDRUGCONF removed from database clusters and STN
 NEWS 11  DEC 17  DGENE now includes more than 10 million sequences
 NEWS 12  DEC 17  TOXCENTER enhanced with 2008 MeSH vocabulary in
                  MEDLINE segment
 NEWS 13  DEC 17  MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
 NEWS 14  DEC 17  CA/CAplus enhanced with new custom IPC display formats
 NEWS 15  DEC 17  STN Viewer enhanced with full-text patent content
                  from USPATOLD
 NEWS 16  JAN 02  STN pricing information for 2008 now available
 NEWS 17  JAN 16  CAS patent coverage enhanced to include exemplified
                  prophetic substances
 NEWS 18  JAN 28  USPATFULL, USPAT2, and USPATOLD enhanced with new
                  custom IPC display formats
 NEWS 19  JAN 28  MARPAT searching enhanced
 NEWS 20  JAN 28  USGENE now provides USPTO sequence data within 3 days
                  of publication
 NEWS 21  JAN 28  TOXCENTER enhanced with reloaded MEDLINE segment
 NEWS 22  JAN 28  MEDLINE and LMEDLINE reloaded with enhancements
 NEWS 23  FEB 08  STN Express, Version 8.3, now available
 NEWS 24  FEB 20  PCI now available as a replacement to DPCI
 NEWS 25  FEB 25  IFIREF reloaded with enhancements
 NEWS 26  FEB 25  IMSPRODUCT reloaded with enhancements
 NEWS 27  FEB 29  WPINDEX/WPIDS/WPIX enhanced with ECLA and current
                  U.S. National Patent Classification


 NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

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 NEWS LOGIN     Welcome Banner and News Items
 NEWS IPC8      For general information regarding STN implementation of IPC 8

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FILE 'HOME' ENTERED AT 10:24:07 ON 19 MAR 2008

=> file reg
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.21 0.21

FILE 'REGISTRY' ENTERED AT 10:24:25 ON 19 MAR 2008
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 MAR 2008 HIGHEST RN 1008796-87-9
DICTIONARY FILE UPDATES: 18 MAR 2008 HIGHEST RN 1008796-87-9

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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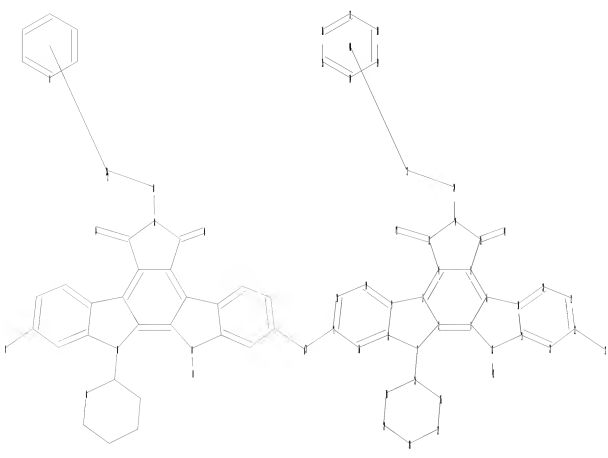
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10565327\structure 1.str



chain nodes :
24 31 32 33 41 42 43
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
25 26 27 28 29 30 34 35 36 37 38 39
chain bonds :
7-25 12-43 13-42 14-24 15-41 17-31 22-32 24-33
ring bonds :
1-2 1-6 1-12 2-3 2-7 3-4 3-9 4-5 4-13 5-6 5-15 6-10 7-8 8-9 8-16
9-19 10-11 10-20 11-12 11-23 13-14 14-15 16-17 17-18 18-19 20-21 21-22
22-23 25-26 25-30 26-27 27-28 28-29 29-30 34-35 34-39 35-36 36-37 37-38
38-39
exact/norm bonds :
1-12 2-7 3-9 4-13 5-15 6-10 7-8 7-25 11-12 13-14 13-42 14-15 14-24
15-41 17-31 22-32 25-26 25-30 26-27 27-28 28-29 29-30
exact bonds :
12-43 24-33
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-16 9-19 10-11 10-20 11-23 16-17 17-18
18-19 20-21 21-22 22-23 34-35 34-39 35-36 36-37 37-38 38-39

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:Atom 26:Atom 27:Atom 28:Atom
29:Atom 30:Atom 31:CLASS 32:CLASS 33:CLASS 34:Atom 35:Atom 36:Atom 37:Atom
38:Atom 39:Atom 40:Atom 41:CLASS 42:CLASS 43:CLASS

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L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 10:24:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       10 TO ITERATE

100.0% PROCESSED       10 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           11 TO      389
PROJECTED ANSWERS:               1 TO       80

L2            1 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 10:24:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      263 TO ITERATE

100.0% PROCESSED      263 ITERATIONS                               34 ANSWERS
SEARCH TIME: 00.00.01

L3           34 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   178.36     178.57

FILE 'CAPLUS' ENTERED AT 10:24:49 ON 19 MAR 2008
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COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 19 Mar 2008 VOL 148 ISS 12

FILE LAST UPDATED: 18 Mar 2008 (20080318/ED)

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http://www.cas.org/infopolicy.html

=> s l3
L4 5 L3

=> d l4 1-5 ibib abs hitstr

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:260083 CAPLUS
DOCUMENT NUMBER: 142:336585
TITLE: Preparation of N-glycosylindolopyrrolocarbazole derivative with antitumor activity
INVENTOR(S): Yamada, Koji; Sunami, Satoshi; Hirose, Masaaki; Ohkubo, Mitsuru; Arakawa, Hiroharu
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005026185	A1	20050324	WO 2004-JP14661	20040914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004272457	A1	20050324	AU 2004-272457	20040914
CA 2538434	A1	20050324	CA 2004-2538434	20040914
EP 1666485	A1	20060607	EP 2004-773605	20040914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1852914	A	20061025	CN 2004-80026590	20040914
US 2007042975	A1	20070222	US 2006-571861	20060314
PRIORITY APPLN. INFO.:			JP 2003-322550 A	20030916
			WO 2004-JP14661 W	20040914

OTHER SOURCE(S): MARPAT 142:336585
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

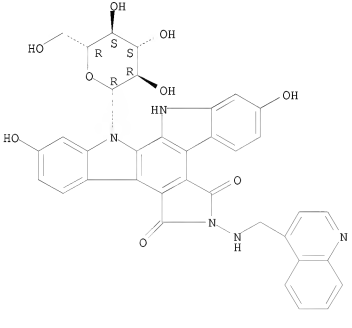
AB Novel indolopyrrolocarbazole derivs. represented by the general formula (I) [wherein A = O, NH, CH2; R1 = a single bond, lower alkyl, lower

alkenyl, lower alkynyl, Y1-W (wherein Y1 = each (un)substituted lower alkyl, lower alkenyl, or 1,3-dioxanyl; W = a single bond, O); R2 = each (un)substituted Ph, naphthyl, or an aromatic or aliphatic heterocycle which is a 5- or 6-membered ring containing at least one of nitrogen, sulfur, and oxygen; G = a pentose group or hexose group] or pharmaceutically acceptable salts thereof are prepared Thus, 97.1 mg compound (II), 54.3 mg O-(3-tert-butyldimethylsilyloxymethyl-4-pyridylmethyl)hydroxylamine, and 30 μL Et3N were dissolve din 4 mL MeOH, refluxed for 3 days, and concentrated under reduced pressure. The residue was dissolved in mixed solvent of 4 mL THF and 3 mL MeOH, treated with 1 mL 1 M Bu4NF/THF, stirred at room temperature for 1 h, treated with 1 mL M Bu4NF/THF, stirred at room temperature for 30 min and then refluxed for 30 min, and concentrated under reduced pressure, followed by purification using a Sephadex LH-20 column to give 11 mg compound (III). III showed IC50 of 0.00076 μM against human colon cancer cell HCT-116.

IT 848396-89-4P 848396-90-7P 848396-91-8P 848396-92-9P 848396-94-1P 848396-96-3P 848396-99-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-glycosylindolopyrrolocarbazole derivative as antitumor agents)

RN 848396-89-4 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(4-quinolinylmethyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



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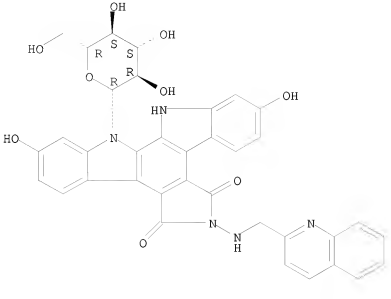
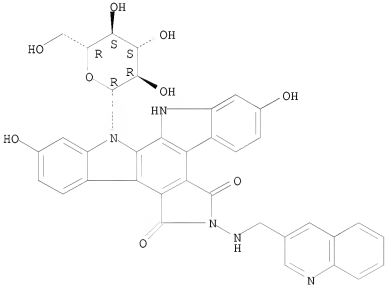
Absolute stereochemistry.



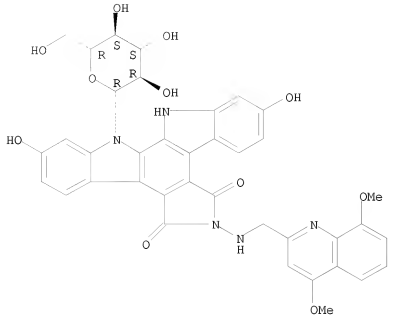
RN 848396-91-8 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(3-quinolinylmethyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



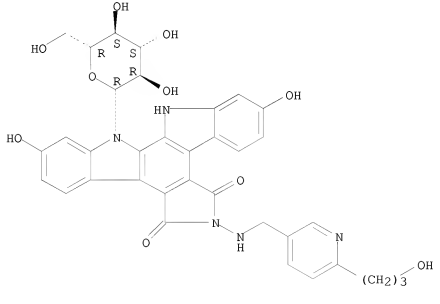
RN 848396-92-9 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 6-[[(4,8-dimethoxy-2-quinolinyl)methyl]amino]-12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy- (CA INDEX NAME)

Absolute stereochemistry.



RN 848396-94-1 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(3-hydroxypropyl)-3-pyridinyl]methyl]amino]- (CA INDEX NAME)

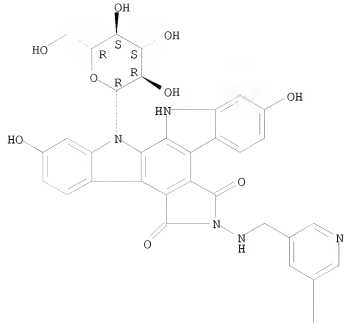
Absolute stereochemistry.



RN 848396-96-3 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[5-(3-hydroxypropyl)-3-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

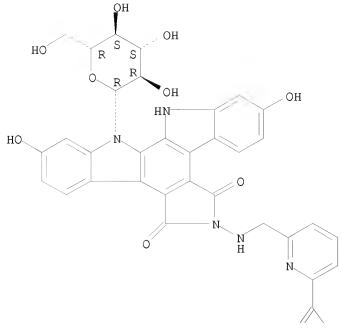
PAGE 1-A



PAGE 2-A

RN 848396-99-6 CAPLUS
CN 2-Pyridinecarboxylic acid, 6-[[[12-β-D-glucopyranosyl-5,7,12,13-tetrahydro-2,10-dihydroxy-5,7-dioxo-6H-indolo[2,3-a]pyrrolo[3,4-c]carbazol-6-yl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:99516 CAPLUS
DOCUMENT NUMBER: 142:183322
TITLE: Preparation of crystalline 6-N-pyridylmethylaminoindolocarbazoles as anticancer agents
INVENTOR(S): Imamura, Hideaki; Sunami, Satoshi; Hirano, Atsushi; Ohkubo, Mitsuru; Akao, Atsushi
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005010018	A1	20050203	WO 2003-JP9393	20030724

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PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU	2003248104	A1	20050214	AU 2003-248104	20030724
AU	2004259288	A1	20050203	AU 2004-259288	20040721
CA	2533375	A1	20050203	CA 2004-2533375	20040721
WO	2005010019	A1	20050203	WO 2004-JP10741	20040721

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP	1652853	A1	20060503	EP 2004-748012	20040721

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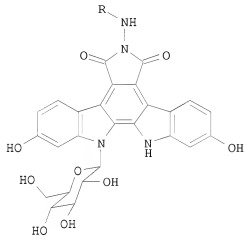
CN	1826348	A	20060830	CN 2004-80021269	20040721
US	2006229264	A1	20061012	US 2006-565327	20060120
IN	2006DN00841	A	20070810	IN 2006-DN841	20060220

PRIORITY APPLN. INFO.: WO 2003-JP309393 A 20030724
WO 2003-JP9393 A 20030724
WO 2004-JP10741 W 20040721

OTHER SOURCE(S): MARPAT 142:183322

GI



I

AB Claimed are the title compds. I [R is pyridylmethyl which may be substituted with hydroxymethyl], pharmaceutically acceptable salts thereof, or solvates thereof. Crystalline compds. of this invention showed high thermal stability, high photostability, and high solubility in water.

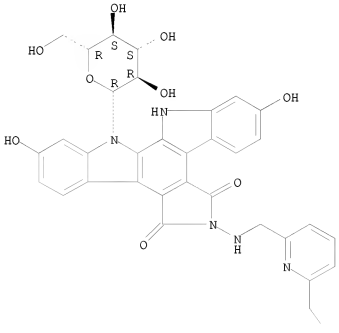
IT 213039-86-2

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);

USES (Uses)
(preparation of crystalline 6-N-pyridylmethylaminoindolocarbazoles as anticancer
agents)
RN 213039-86-2 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(hydroxymethyl)-2-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

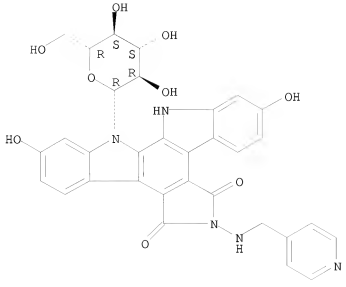
PAGE 1-A



PAGE 2-A

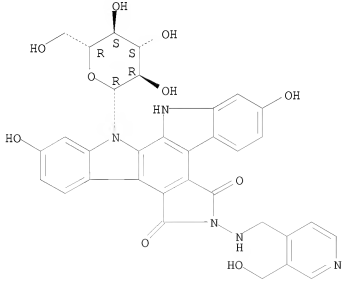
IT 668486-47-3P
RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of crystalline 6-N-pyridylmethylaminoindolocarbazoles as anticancer
agents)
RN 668486-47-3 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(4-pyridinylmethyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



IT 213039-73-7P 835621-38-0P 835621-44-8P
835621-48-2P
RL: PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of crystalline 6-N-pyridylmethylaminoindolocarbazoles as anticancer
agents)
RN 213039-73-7 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-(hydroxymethyl)-4-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 835621-38-0 CAPLUS
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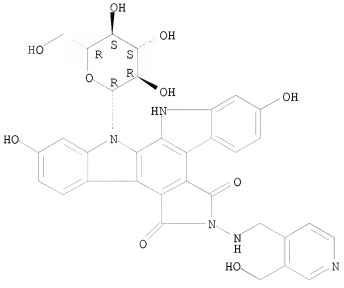
12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-

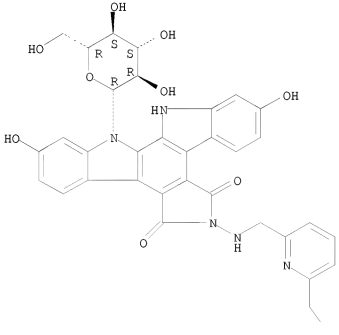
(hydroxymethyl)-4-pyridinyl]methyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 835621-44-8 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(hydroxymethyl)-2-pyridinyl]methyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

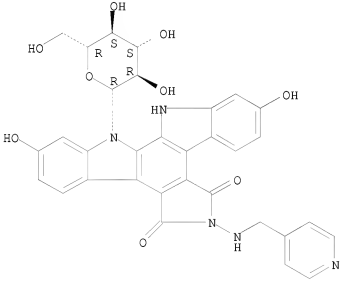
Absolute stereochemistry.



● HCl

RN 835621-48-2 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(4-pyridinylmethyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



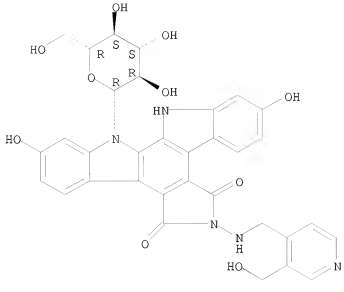
● HCl

IT 835621-53-9
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of crystalline 6-N-pyridylmethylaminoindolocarbazoles as anticancer agents)
RN 835621-53-9 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-(hydroxymethyl)-4-pyridinyl]methyl]amino]-, monomethanesulfonate (salt), compd. with ethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 213039-73-7
CMF C33 H29 N5 O10

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

CM 3

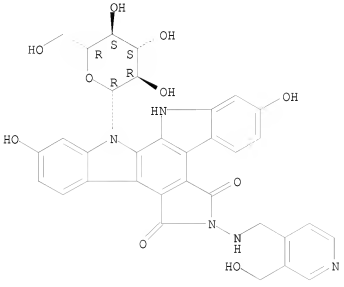
CRN 64-17-5
CMF C2 H6 O

IT 835621-39-1P 835621-40-4P
RL: PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of crystalline 6-N-pyridylmethylaminoindolocarbazoles as anticancer agents)
RN 835621-39-1 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-(hydroxymethyl)-4-pyridinyl]methyl]amino]-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 213039-73-7
CMF C33 H29 N5 O10

Absolute stereochemistry.



CM 2

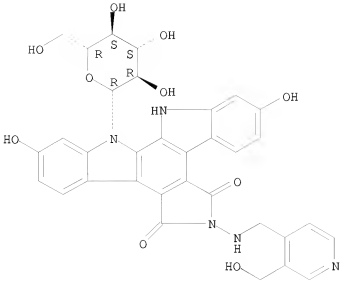
CRN 7664-93-9
CMF H2 O4 S

RN 835621-40-4 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-(hydroxymethyl)-4-pyridinyl]methyl]amino]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 213039-73-7
CMF C33 H29 N5 O10

Absolute stereochemistry.

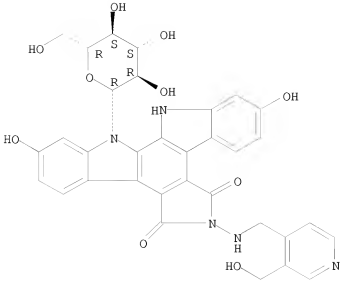


CM 2

CRN 75-75-2
CMF C H4 O3 S

IT 835621-41-5 835621-42-6 835621-43-7
835621-45-9 835621-46-0 835621-47-1
835621-49-3 835621-50-6 835621-51-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of crystalline 6-N-pyridylmethylaminoindolocarbazoles as anticancer
agents)
RN 835621-41-5 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-(hydroxymethyl)-4-pyridinyl]methyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



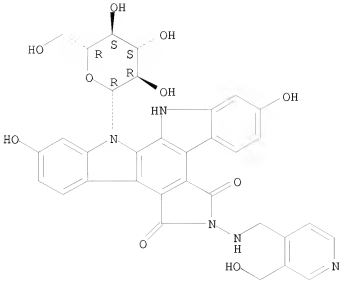
●x HCl

RN 835621-42-6 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-(hydroxymethyl)-4-pyridinyl]methyl]amino]-, sulfate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 213039-73-7
CMF C33 H29 N5 O10

Absolute stereochemistry.



CM 2

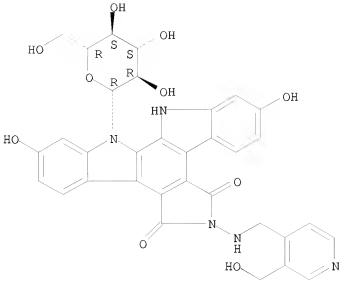
CRN 7664-93-9
CMF H2 O4 S

RN 835621-43-7 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-(hydroxymethyl)-4-pyridinyl]methyl]amino]-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 213039-73-7
CMF C33 H29 N5 O10

Absolute stereochemistry.



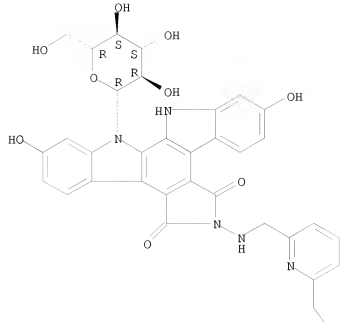
CM 2

CRN 75-75-2
CMF C H4 O3 S

RN 835621-45-9 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(hydroxymethyl)-2-pyridinyl]methyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

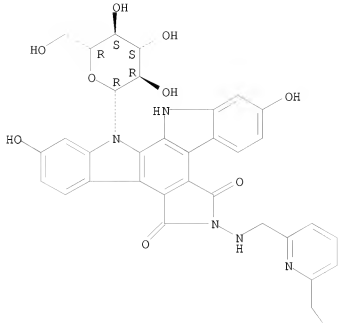
●x HCl

RN 835621-46-0 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(hydroxymethyl)-2-pyridinyl]methyl]amino]-, sulfate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 213039-86-2
CMF C33 H29 N5 O10

Absolute stereochemistry.



CM 2

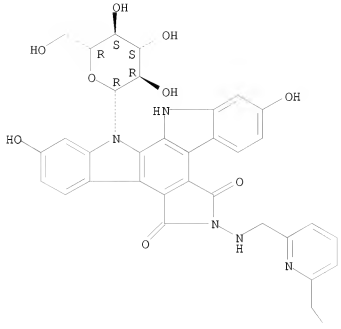
CRN 7664-93-9
CMF H2 O4 S

RN 835621-47-1 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(hydroxymethyl)-2-pyridinyl]methyl]amino]-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 213039-86-2
CMF C33 H29 N5 O10

Absolute stereochemistry.

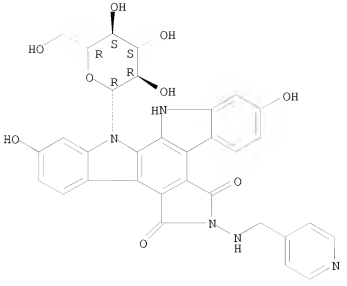


CM 2

CRN 75-75-2
CMF C H4 O3 S

RN 835621-49-3 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(4-pyridinylmethyl)amino]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



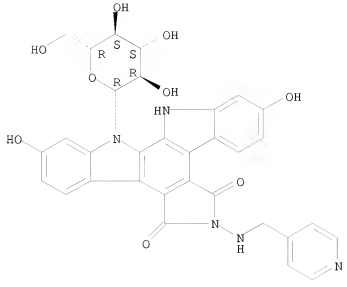
●x HCl

RN 835621-50-6 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(4-pyridinylmethyl)amino]-, sulfate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 668486-47-3
CMF C32 H27 N5 O9

Absolute stereochemistry.



CM 2

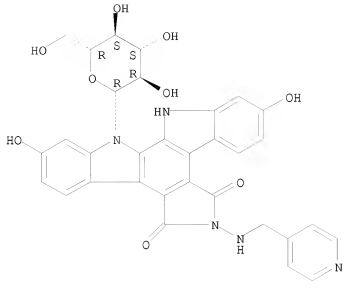
CRN 7664-93-9
CMF H2 O4 S

RN 835621-51-7 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(4-pyridinylmethyl)amino]-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 668486-47-3
CMF C32 H27 N5 O9

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:99515 CAPLUS
DOCUMENT NUMBER: 142:177043
TITLE: Preparation of glucopyranosyl indolopyrrolocarbazole derivatives as antitumor agents
INVENTOR(S): Ohkubo, Mitsuru; Arakawa, Hiroharu
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005010017	A1	20050203	WO 2003-JP9392	20030724

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,

PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
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KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU	2003248103	A1	20050214	AU 2003-248103	20030724
AU	2004259289	A1	20050203	AU 2004-259289	20040721
CA	2533384	A1	20050203	CA 2004-2533384	20040721
WO	2005010020	A1	20050203	WO 2004-JP10742	20040721

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LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
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SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

EP	1652854	A1	20060503	EP 2004-771003	20040721

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IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

CN	1826347	A	20060830	CN 2004-80021118	20040721
US	2006189800	A1	20060824	US 2006-565326	20060120
IN	2006DN00809	A	20070817	IN 2006-DN809	20060217

PRIORITY APPLN. INFO.: JP 2003-9392 A 20030724
WO 2003-JP309392 A 20030724
WO 2003-JP9392 A 20030724
WO 2004-JP10742 W 20040721

OTHER SOURCE(S): CASREACT 142:177043; MARPAT 142:177043

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

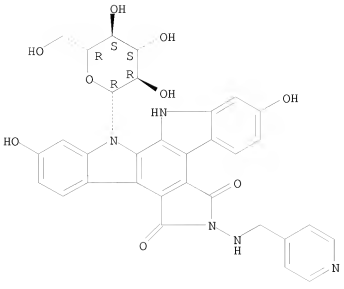
AB Title compds. I [R = unsubstituted pyridyl, furyl, thienyl; m = 1-3; G = β-D-glucopyranosyl; hydroxy substituents on the indolopyrrolocarbazole ring are located in the 1- and 11-positions or the 2- and 10-positions] were prepared For instance, condensation of compound II [X = NH2] with 4-pyridinecarbaldehyde followed by hydrogenation afforded compound II [X = NHCH2(4-pyridyl)]. In cell growth inhibition assays against MKN-45 cell, the IC50 value of compound II [X = NHCH2(4-pyridyl)] was 71 nM. Compds. I are claimed useful for the treatment of lung cancer.

IT 668486-47-3P 835625-77-9P 835625-78-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolopyrrolocarbazole derivs. having glucopyranosyl group as antitumor agents)

RN 668486-47-3 CAPLUS

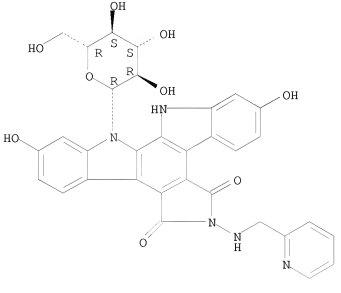
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(4-pyridinylmethyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



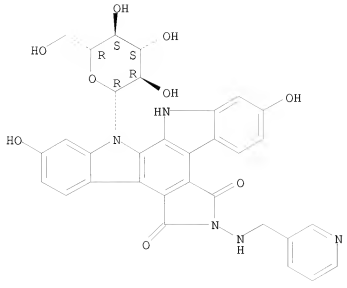
RN 835625-77-9 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(2-pyridinylmethyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 835625-78-0 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



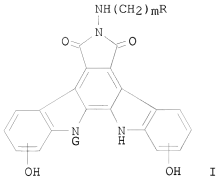
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:191117 CAPLUS
DOCUMENT NUMBER: 140:236007
TITLE: Preparation of indolopyrrolocarbazole derivatives having glucopyranosyl group and antitumor agents containing them
INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 17 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6703373	B1	20040309	US 2002-70825	20020311
WO 2004083228	A1	20040930	WO 1999-JP4911	19990910
W: US				
PRIORITY APPLN. INFO.:			WO 1999-JP4911 W	19990910

OTHER SOURCE(S): MARPAT 140:236007
GI



I

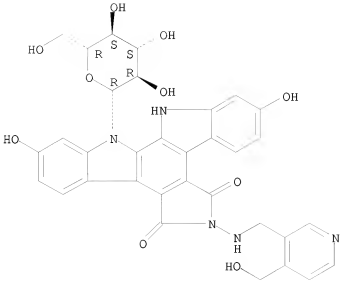
AB The derivs. I (R = Ph, naphthyl, pyridyl, furyl, thienyl, which is substituted with 1-2 OH, lower alkoxy, lower hydroxyalkyl, or lower hydroxyalkenyl; if R has a lower alkoxy, then R is also has the other substituent; m = 1-3; G = β-D-glucopyranosyl; 2 OH groups are on the 1- and 11- or 2- and 10-positions of the indolopyrrolocarbazole ring) or their pharmaceutically acceptable salts are prepared The antitumor agents contain I or the salts. 2,10-I [(CH2)mR = CH2C6H3(OH)2-3,5] (preparation given) inhibited growth of human gastric cancer MX-1 cells s.c. transplanted into nude mice. The cancer treated is gastric cancer, colon cancer, lung cancer or breast cancer. Growth inhibition activity on human gastric cancer cells, human colon cancer cells and human lung cancer cells.

IT 213039-72-6P 213039-73-7P 213039-75-9P
213039-76-0P 213039-77-1P 213039-81-7P
213039-82-8P 213039-84-0P 213039-86-2P
668486-47-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of glucopyranosylindolopyrrolocarbazole derivs. as antitumor agents)

RN 213039-72-6 CAPLUS

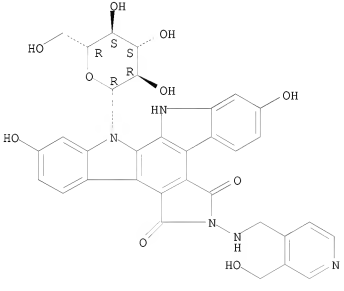
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[4-(hydroxymethyl)-3-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



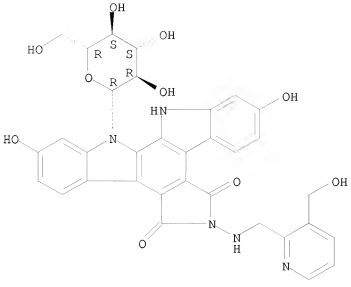
RN 213039-73-7 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-(hydroxymethyl)-4-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 213039-75-9 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-(hydroxymethyl)-2-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



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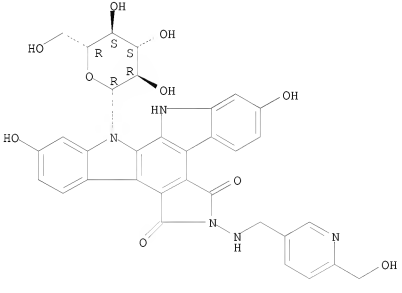
Absolute stereochemistry.



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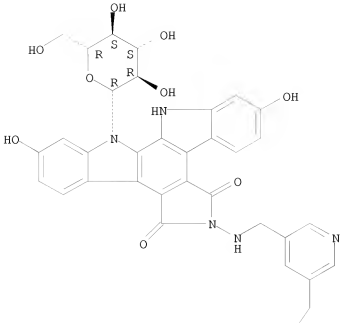
Absolute stereochemistry.

PAGE 1-A

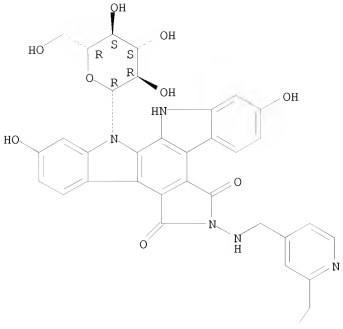


PAGE 2-A

RN 213039-81-7 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[2-(hydroxymethyl)-4-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

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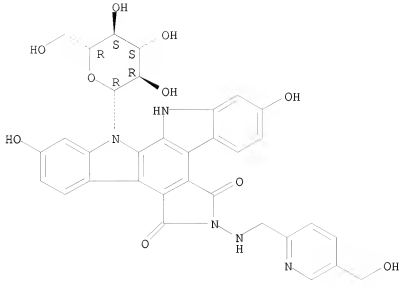
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[5-(hydroxymethyl)-2-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



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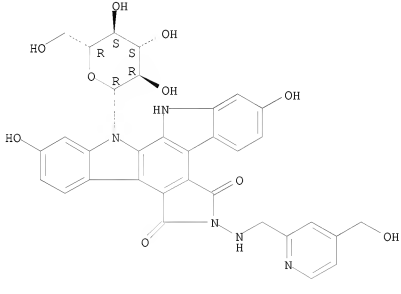
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[4-(hydroxymethyl)-2-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



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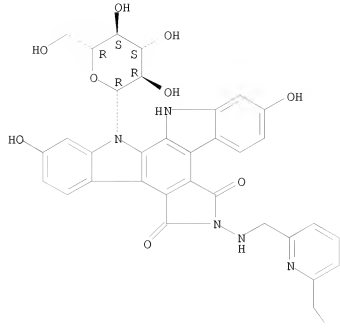
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(hydroxymethyl)-2-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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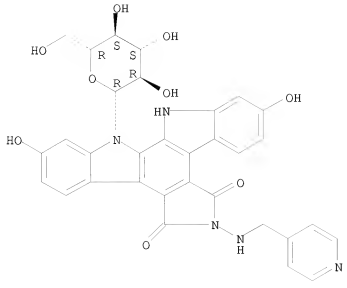
Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:600014 CAPLUS
DOCUMENT NUMBER: 129:245410
TITLE: Preparation of indolopyrrolocarbazole derivatives having glucopyranosyl group and antitumor agents containing them
INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Koji; Ookubo, Mitsuru; Suda, Hiroyuki
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10245390	A	19980914	JP 1997-61875	19970228
JP 3536574	B2	20040614		
JP 2004099617	A	20040402	JP 2003-351296	20031009

PRIORITY APPLN. INFO.: JP 1997-61875 A3 19970228
OTHER SOURCE(S): MARPAT 129:245410
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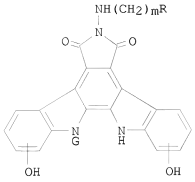


I

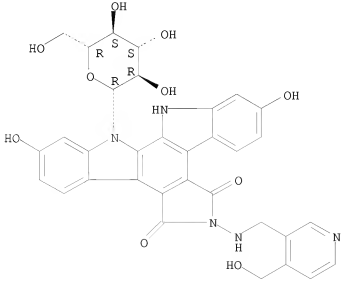
AB The derivs. I (R = Ph, naphthyl, pyridyl, furyl, thienyl, which is substituted with 1-2 OH, lower alkoxy, lower hydroxyalkyl, or lower hydroxyalkenyl; if R has a lower alkoxy, then R is also has the other substituent; m = 1-3; G = β-D-glucopyranosyl; 2 OH groups are on the 1- and 11- or 2- and 10-positions of the indolopyrrolocarbazole ring) or their pharmaceutically acceptable salts are prepared The antitumor agents contain I or the salts. 2,10-I [(CH2)mR = CH2C6H3(OH)2-3,5] (preparation given) inhibited growth of human gastric cancer MX-1 cells s.c. transplanted into nude mice.

IT 213039-72-6P 213039-73-7P 213039-75-9P
213039-76-0P 213039-77-1P 213039-81-7P
213039-82-8P 213039-84-0P 213039-86-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of glucopyranosylindolopyrrolocarbazole derivs. as antitumor agents)

RN 213039-72-6 CAPLUS

CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[4-(hydroxymethyl)-3-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



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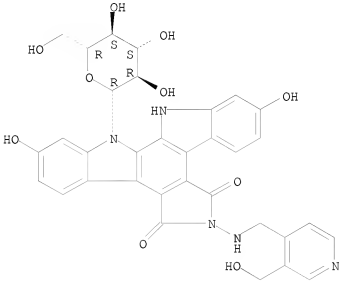
Absolute stereochemistry.



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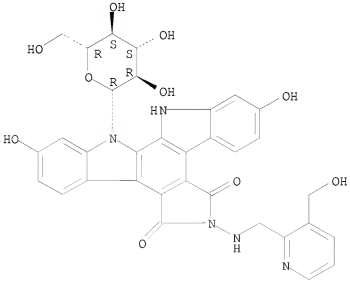
Absolute stereochemistry.



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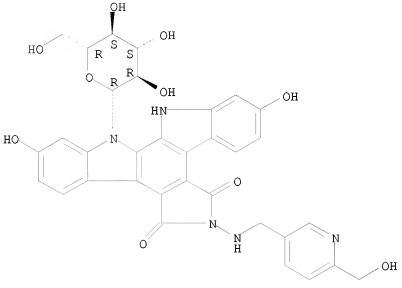
Absolute stereochemistry.



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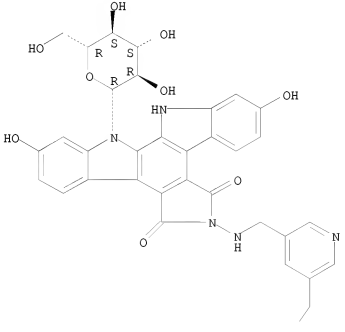
Absolute stereochemistry.

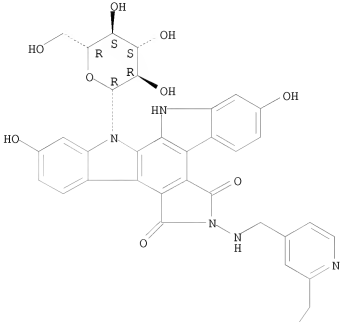


PAGE 2-A

RN 213039-81-7 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[2-(hydroxymethyl)-4-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

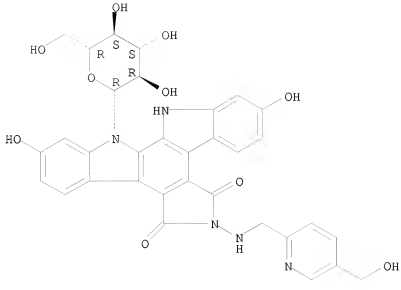
PAGE 1-A



PAGE 2-A

RN 213039-82-8 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[5-(hydroxymethyl)-2-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



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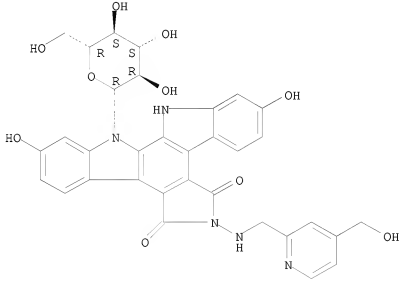
Absolute stereochemistry.



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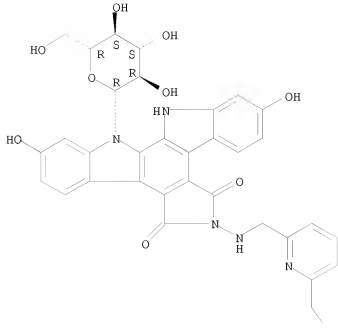
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(hydroxymethyl)-2-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

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LAST RELOADED: Mar 14, 2008 (20080314/UP).

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FILE COVERS 1907 - 19 Mar 2008  VOL 148 ISS 12
FILE LAST UPDATED: 18 Mar 2008  (20080318/ED)

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L11         14 L10 AND INDOLOPYRROLOCARBAZOLE

=> d l11 1-14 ibib abs

L11  ANSWER 1 OF 14  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2005:260083  CAPLUS
DOCUMENT NUMBER:          142:336585
TITLE:                    Preparation of N-glycosylindolopyrrolocarbazole
                          derivative with antitumor activity
INVENTOR(S):              Yamada, Koji; Sunami, Satoshi; Hirose,
                          Masaaki; Ohkubo, Mitsuru; Arakawa, Hiroharu
PATENT ASSIGNEE(S):       Banyu Pharmaceutical Co., Ltd., Japan
SOURCE:                   PCT Int. Appl., 79 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

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			WO 2004-JP14661	W	20040914

OTHER SOURCE(S): MARPAT 142:336585
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel indolopyrrolocarbazole derivs. represented by the general formula (I) [wherein A = O, NH, CH2; R1 = a single bond, lower alkyl, lower alkenyl, lower alkynyl, Y1-W (wherein Y1 = each (un)substituted lower alkyl, lower alkenyl, or 1,3-dioxanyl; W = a single bond, O); R2 = each (un)substituted Ph, naphthyl, or an aromatic or aliphatic heterocycle which is a 5- or 6-membered ring containing at least one of nitrogen, sulfur, and oxygen; G = a pentose group or hexose group] or pharmaceutically acceptable salts thereof are prepared Thus, 97.1 mg compound (II), 54.3 mg O-(3-tert-butyldimethylsilyloxymethyl-4-pyridylmethyl)hydroxylamine, and 30 μL Et3N were dissolve din 4 mL MeOH, refluxed for 3 days, and concentrated under reduced pressure. The residue was dissolved in mixed solvent of 4 mL THF and 3 mL MeOH, treated with 1 mL 1 M Bu4NF/THF, stirred at room temperature for 1 h, treated with 1 mL M Bu4NF/THF, stirred at room temperature for 30 min and then refluxed for 30 min, and concentrated under reduced pressure, followed by purification using a Sephadex LH-20 column to give 11 mg compound (III). III showed IC50 of 0.00076 μM against human colon cancer cell HCT-116.

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:99515 CAPLUS
DOCUMENT NUMBER: 142:177043
TITLE: Preparation of glucopyranosyl indolopyrrolocarbazole derivatives as antitumor agents
INVENTOR(S): Ohkubo, Mitsuru; Arakawa, Hiroharu
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005010017	A1	20050203	WO 2003-JP9392	20030724
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,				

PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU	2003248103	A1	20050214	AU 2003-248103	20030724
AU	2004259289	A1	20050203	AU 2004-259289	20040721
CA	2533384	A1	20050203	CA 2004-2533384	20040721
WO	2005010020	A1	20050203	WO 2004-JP10742	20040721

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

EP	1652854	A1	20060503	EP 2004-771003	20040721

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

CN	1826347	A	20060830	CN 2004-80021118	20040721
US	2006189800	A1	20060824	US 2006-565326	20060120
IN	2006DN00809	A	20070817	IN 2006-DN809	20060217

PRIORITY APPLN. INFO.:	JP 2003-9392	A	20030724
	WO 2003-JP309392	A	20030724
	WO 2003-JP9392	A	20030724
	WO 2004-JP10742	W	20040721

OTHER SOURCE(S): CASREACT 142:177043; MARPAT 142:177043
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R = unsubstituted pyridyl, furyl, thienyl; m = 1-3; G = β-D-glucopyranosyl; hydroxy substituents on the indolopyrrolocarbazole ring are located in the 1- and 11-positions or the 2- and 10-positions] were prepared For instance, condensation of compound II [X = NH2] with 4-pyridinecarbaldehyde followed by hydrogenation afforded compound II [X = NHCH2(4-pyridyl)]. In cell growth inhibition assays against MKN-45 cell, the IC50 value of compound II [X = NHCH2(4-pyridyl)] was 71 nM. Compds. I are claimed useful for the treatment of lung cancer.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

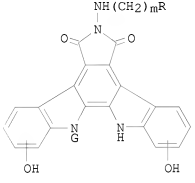
L11 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2004:191117 CAPLUS
DOCUMENT NUMBER:	140:236007
TITLE:	Preparation of indolopyrrolocarbazole derivatives having glucopyranosyl group and antitumor agents containing them
INVENTOR(S):	Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki
PATENT ASSIGNEE(S):	Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: U.S., 17 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6703373	B1	20040309	US 2002-70825	20020311
WO 2004083228	A1	20040930	WO 1999-JP4911	19990910
W: US				

PRIORITY APPLN. INFO.: WO 1999-JP4911 W 19990910
OTHER SOURCE(S): MARPAT 140:236007
GI



I

AB The derivs. I (R = Ph, naphthyl, pyridyl, furyl, thienyl, which is substituted with 1-2 OH, lower alkoxy, lower hydroxyalkyl, or lower hydroxyalkenyl; if R has a lower alkoxy, then R is also has the other substituent; m = 1-3; G = β-D-glucopyranosyl; 2 OH groups are on the 1- and 11- or 2- and 10-positions of the indolopyrrolocarbazole ring) or their pharmaceutically acceptable salts are prepared The antitumor agents contain I or the salts. 2,10-I [(CH2)mR = CH2C6H3(OH)2-3,5] (preparation given) inhibited growth of human gastric cancer MX-1 cells s.c. transplanted into nude mice. The cancer treated is gastric cancer, colon cancer, lung cancer or breast cancer. Growth inhibition activity on human gastric cancer cells, human colon cancer cells and human lung cancer cells.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

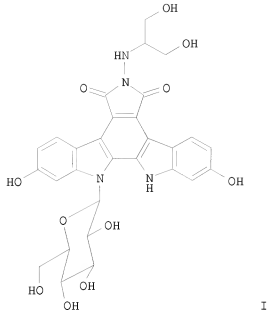
L11 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:182898 CAPLUS
DOCUMENT NUMBER: 140:217950
TITLE: Process for producing indolopyrrolocarbazole derivative
INVENTOR(S): Akao, Atsushi; Kawasaki, Masashi; Kamatani, Asayuki; Mase, Toshiaki
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018495	A1	20040304	WO 2003-JP10672	20030822
W: AE, AG, AL, AM, AU, AZ, BA, BB, BR, BY, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, ID, IL, IN, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, RU, SC, SG, SY, TJ, TM, TN, TT, UA, US, UZ, VC, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004099608	A	20040402	JP 2003-296987	20030821
JP 3552714	B2	20040811		
CA 2496479	A1	20040304	CA 2003-2496479	20030822
AU 2003261708	A1	20040311	AU 2003-261708	20030822
EP 1541582	A1	20050615	EP 2003-792815	20030822
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1678622	A	20051005	CN 2003-820026	20030822
CN 1923365	A	20070307	CN 2006-10138804	20030822
JP 2004107357	A	20040408	JP 2003-423786	20031219
MX 2005PA01967	A	20050622	MX 2005-PA1967	20050218
ZA 2005001601	A	20060531	ZA 2005-1601	20050223
IN 2005KN00249	A	20060811	IN 2005-KN249	20050223
US 2005176968	A1	20050811	US 2005-524274	20050425
IN 2007KN03054	A	20071207	IN 2007-KN3054	20070820

PRIORITY APPLN. INFO.:		
JP 2002-244173	A	20020823
JP 2003-296987	A3	20030821
CN 2003-820026	A3	20030822
WO 2003-JP10672	W	20030822
IN 2005-KN249	A3	20050223

OTHER SOURCE(S): MARPAT 140:217950
GI



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AB This document discloses a multistep process for preparing anticancer indolopyrrolocarbazole derivative I from benzyloxypyrrolidinylvinylnitrobenzene. One of the key steps in this process is the hydrogenation of 3-benzyloxy-6-(2-pyrrolidinylvinyl)nitrobenzene in the presence of Rh/C and Fe(OAc)2 under hydrogen to give 6-benzyloxyindole in 91% yield.

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:636082 CAPLUS

DOCUMENT NUMBER: 135:211231

TITLE: Process for preparing indolopyrrolocarbazole derivatives, intermediates therefor, and preparation process of the intermediates

INVENTOR(S): Hiraga, Shouichi; Kawasaki, Masashi; Akao, Atsushi; Kamatani, Asayuki; Hagiwara, Masayuki; Nakano, Fumio; Mase, Toshiaki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062769	A1	20010830	WO 2001-JP1289	20010222

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2399209	A1	20010830	CA 2001-2399209		20010222
AU 2001034119	A	20010903	AU 2001-34119		20010222
EP 1258490	A1	20021120	EP 2001-906200		20010222
EP 1258490	B1	20031126			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 3388489	B2	20030324	JP 2001-562551		20010222
AT 255122	T	20031215	AT 2001-906200		20010222
PT 1258490	T	20040430	PT 2001-906200		20010222
ES 2210127	T3	20040701	ES 2001-906200		20010222
US 2003060621	A1	20030327	US 2002-203088		20020806
US 6790836	B2	20040914			
PRIORITY APPLN. INFO.:			JP 2000-48140	A	20000224
			WO 2001-JP1289	W	20010222

OTHER SOURCE(S): CASREACT 135:211231; MARPAT 135:211231

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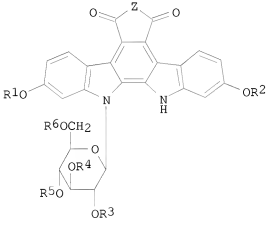


I

AB Described are a process for preparing indolopyrrolocarbazole glucoside derivs. [I; Z = N-NHCH(CH2OH)CH2OH; R1-R6 = H] by treating a compound I [Z = N-Y1; R1-R6 are each independently a hydroxyl-protecting group; Y1 = hydrogen, C1-4 alkyl, Ph, benzyloxymethyl, aralkyl] (II) with a base in an inert solvent to prepare a compound I (Z = O; R1-R6 are each independently a hydroxyl-protecting group) (III), reacting III with a compound of formula H2NNHCH(CH2OR7)CH2OR8.X [IV; X = an acid mol.; R7 and R8 are each independently hydrogen or a hydroxyl-protecting group] to prepare a compound I [Z = NNHCH(CH2OR7)CH2OR8; R1-R6 are each independently a hydroxyl-protecting group; R7, R8 = same as above] (V), and deblocking the compound V; intermediates III, IV, and V; and a process for preparing compds. IV. The intermediates such as I [Z = O, N-NHCH(CH2OH)CH2OH; R1-R6 = H] exhibited low topoisomerase I-inhibitory activity (IC50 of >1,000 μM) which eliminates the danger of exposing workers to highly active compds. and thus the need for using a specialized isolation apparatus The above process is a safe and easy industrial process for preparing indolopyrrolocarbazole derivs. I [Z = N-NHCH(CH2OH)CH2OH; R1-R6 = H] useful as antitumor agents (no data). Thus, 670 mg I (Z = NMe, R1-R6 = CH2Ph) was stirred in 36 mL ethanol at room temperature for 1 h, treated dropwise with 8 mL 5 N aqueous NaOH over a period of 20 min at room temperature,

stirred at 60° for 4 h and then at room temperature overnight, treated with 20 mL toluene and dropwise with 1.0 n aqueous HCl over a period of 3 min to make pH 2.6, treated with 10 mL THF, and stirred at room temperature for 6 h to give 85% I (Z = O, R1-R6 = CH2Ph). To the latter compound and 15 mL N,N-dimethylacetamide were added 0.23 g N-(1-hydroxymethyl-2-hydroxyethyl)hydrazine hemioxalate (preparation given) and Et3N and the resulting mixture was stirred at 60° for 1.5 h to give 92% I [Z = N-NHCH(CH2OH)CH2OH, R1-R6 = CH2Ph] which (500 mg) was dissolved in 10 mL MeOH/THF (50/50), treated with 100 mg 10% Pd-C and 100 μL 1 n aqueous HCl, and hydrogenated under hydrogen pressure of 29.4 Pa at 40° for 3 h to give 59% I [Z = N-NHCH(CH2OH)CH2OH, R1-R6 = H].

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:590732 CAPLUS

DOCUMENT NUMBER: 129:225719

TITLE: Antitumor indolopyrrolocarbazole derivatives

INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: U.S., 25 pp., Cont.-in-part of U.S. 5,591,842.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5804564	A	19980908	US 1996-737382	19961108
PL 172609	B1	19971031	PL 1992-316369	19921127
US 5591842	A	19970107	US 1994-255980	19940608
CA 2190007	A1	19951116	CA 1995-2190007	19950502
CA 2190007	C	20030415		
CA 2413037	A1	19951116	CA 1995-2413037	19950502
CA 2413037	C	20070626		
WO 9530682	A1	19951116	WO 1995-JP868	19950502
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CN 1153518	A	19970702	CN 1995-193830	19950502
CN 1106400	B	20030423		
EP 1264836	A1	20021211	EP 2002-18235	19950502
EP 1264836	B1	20041201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
PT 760375	T	20040430	PT 1995-917506	19950502
ES 2206501	T3	20040516	ES 1995-917506	19950502
CN 1513865	A	20040721	CN 2002-2002146948	19950502
AT 283863	T	20041215	AT 2002-18235	19950502
PT 1264836	T	20050228	PT 2002-18235	19950502
ES 2230433	T3	20050501	ES 2002-18235	19950502
US 5922860	A	19990713	US 1998-3602	19980107
HK 1067948	A1	20070713	HK 2005-100209	20050211

PRIORITY APPLN. INFO.:

JP 1994-119483	A	19940509
JP 1994-145648	A	19940603
US 1994-255980	A2	19940608
WO 1995-JP868	W	19950502
JP 1991-341916	A	19911129
JP 1992-69269	A	19920218
JP 1992-257306	A	19920901

US 1992-981070	A2	19921124
WO 1992-JP1549	W	19921127
US 1993-68097	B2	19930528
US 1993-166364	A2	19931214
CA 1995-2190007	A3	19950502
EP 1995-917506	A3	19950502

OTHER SOURCE(S): MARPAT 129:225719
GI

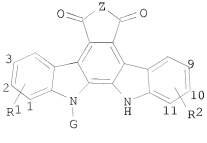
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Indolopyrrolocarbazole derivs. I and II were prepared and their antitumor activity studied.
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:293884 CAPLUS
DOCUMENT NUMBER: 126:264313
TITLE: Preparation of N-glycosylindolopyrrolocarbazole derivatives as antitumor agents
INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9709339	A1	19970313	WO 1996-JP2404	19960828
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9668366	A	19970327	AU 1996-68366	19960828
PRIORITY APPLN. INFO.:			JP 1995-251855	A 19950905
			WO 1996-JP2404	W 19960828

OTHER SOURCE(S): MARPAT 126:264313
GI



I

AB Nucleoside analogs represented by general formula [I; Z = NNHR; wherein R = C2-4 alkyl having 1 to 3 hydroxyl group; R1, R2 = H or OH; G = pentose or hexose, provided that R1 and R2 do not represent H at the same time, and excluding the case where R1 is OH at the 1-position and R2 is OH at the 11-position when R is CH(CH2OH)2, and another case where R1 is OH at the 2-position and R2 is OH at the 10-position when R is CH(CH2OH)2], which have an excellent antitumor effect, are prepared Thus, a dicarboxylic acid anhydride I (Z = O, R1 = 2-MeO, R2 = 10-MeO) (preparation given) was stirred with 2-hydroxyethylhydrazine in DMF at 80° for 1.5 h to give I (Z = NHCH2CH2OH, R1 = 2-MeO, R2 = 10-MeO), which at 16 mg/kg total in vivo inhibited 75% the proliferation of human stomach cancer MKN-45 cells in nude mice.

L11 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:49293 CAPLUS
DOCUMENT NUMBER: 126:157762
TITLE: Preparation of indolopyrrolocarbazole nucleoside analogs as antitumors
INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 40 pp., Cont.-in-part of U.S. Ser. No. 5,437,996.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5591842	A	19970107	US 1994-255980	19940608
PL 171468	B1	19970530	PL 1992-304729	19921127
PL 172316	B1	19970930	PL 1992-316368	19921127
PL 172609	B1	19971031	PL 1992-316369	19921127
RO 113469	B1	19980730	RO 1993-1067	19921127
CZ 287304	B6	20001011	CZ 1992-3508	19921127
CN 1073948	A	19930707	CN 1992-114888	19921128
CN 1030987	B	19960214		
ZA 9209263	A	19930525	ZA 1992-9263	19921209
CN 1075482	A	19930825	CN 1993-100326	19930102
CN 1035878	B	19970917		
US 5437996	A	19950801	US 1993-166364	19931214
US 5589365	A	19961231	US 1995-381286	19950131
WO 9530682	A1	19951116	WO 1995-JP868	19950502
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5668271	A	19970916	US 1995-474659	19950607
US 5804564	A	19980908	US 1996-737382	19961108

PRIORITY APPLN. INFO.:

JP 1991-341916	A	19911129
JP 1992-69269	A	19920218
JP 1992-257306	A	19920901
US 1992-981070	A2	19921124
US 1993-68097	B2	19930528
US 1993-166364	A2	19931214
CS 1992-3508	A	19921127
WO 1992-JP1549	W	19921127
JP 1992-353623	A	19921214
JP 1993-53035	A	19930218
JP 1994-119483	A	19940509

JP 1994-145648	A	19940603
US 1994-255980	A2	19940608
WO 1995-JP868	W	19950502

OTHER SOURCE(S): MARPAT 126:157762
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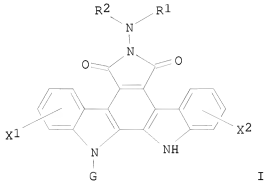

I

AB Indolopyrrocarbazole nucleoside analogs I (R1, R2 = H, alkyl, alkenyl, arom hydrocarbon, heterocycle; aminoalkyl; G = sugar; X1, X2 = H, halogen, NH2, alkoxy, alkylamino, OH) were prepared and showed excellent antitumor activity as evidenced by in vitro proliferation inhibiting activity against mouse leukemia cell, human gastric cancer cell, human lung cancer cell and human colon cancer cell. Thus, I (R1 = H, R2 = CHO; G = β-D-glucopyranosyl; X1 = X2 = OH) was prepared and tested as antitumor (dosage of 0.3-100 mg/kg/day; MST = 16.8-52.4).

L11 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	1997:14323 CAPLUS
DOCUMENT NUMBER:	126:144473
TITLE:	Synthesis of NB-506, a new anticancer agent
AUTHOR(S):	Ohkubo, Mitsuru; Kawamoto, Hiroshi; Ohno, Toshiyuki; Nakano, Masato; Morishima, Hajime
CORPORATE SOURCE:	Banyu Tsukuba Research Institute, Tsukuba, 300-33, Japan
SOURCE:	Tetrahedron (1997), 53(2), 585-592 CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER:	Elsevier
DOCUMENT TYPE:	Journal
LANGUAGE:	English

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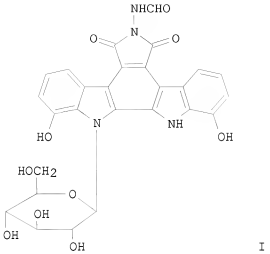
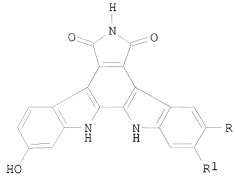


I

AB 6-N-Formylamino-12,13-dihydro-1,11-dihydroxy-13-(β-D-glucopyranosyl)-5H-indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione (NB-506, I), a derivative of the naturally occurring antitumor compound, BE-13793C, is a new indolopyrrolocarbazole anticancer agent which potently inhibits topoisomerase I. The synthesis of NB-506 was accomplished starting from 2,3-dibromo-N-methylmaleimide and 7-benzyloxyindole. The key step, a glycosylation of indolocarbazole, was precisely studied to develop a practical synthesis method using KOH as a base.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:376438 CAPLUS
DOCUMENT NUMBER: 125:114919
TITLE: Practical synthesis of indolopyrrolocarbazoles
AUTHOR(S): Ohkubo, Mitsuru; Nishimura, Teruyuki; Jona, Hideki; Honma, Teruki; Morishima, Hajime
CORPORATE SOURCE: Banyu Tsukuba Research Institute in collaboration with Merck Research Laboratories, Tsukuba, 300-33, Japan
SOURCE: Tetrahedron (1996), 52(24), 8099-8112
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:114919
GI



I

AB A practical method for the synthesis of the indolo[2,3-a]pyrrolo[3,4-c]carbazole ring system was described. The method involved two key processes: a coupling reaction between indole and substituted methylmaleimide portions using lithium hexamethyldisilazide (LiHMDS) as a base, and the oxidative cyclization of bisindolylmaleimide with palladium (II) chloride. This method was applied to the synthesis of arcyriaflavins B, C and D I (R = R1 = H; R = H, R1 = OH; R = OH, R1 = H, resp.).

L11 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:340593 CAPLUS
DOCUMENT NUMBER: 125:34036
TITLE: Preparation of antitumor indolopyrrolocarbazole glycosides
INVENTOR(S): Kojiri, Katsuhisa; Shimokawa, Haruki; Ohkubo, Mitsuru; Kawamura, Kenji; Kondo, Hisao; Arakawa, Hiroharu; Suda, Hiroyuki
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9604293	A1	19960215	WO 1995-JP1490	19950726
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9530864	A	19960304	AU 1995-30864	19950726
PRIORITY APPLN. INFO.:			JP 1994-200110 A	19940802
			WO 1995-JP1490 W	19950726

OTHER SOURCE(S): MARPAT 125:34036
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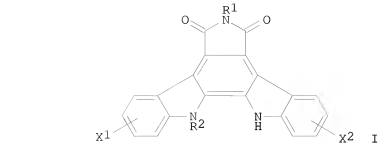

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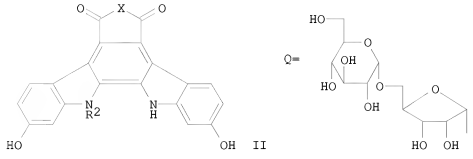

II

AB Compds. represented by general formula [I; X1, X2 = H, halo, NH2, mono(lower alkyl)amino, di(lower alkyl)amino, HO, lower alkoxy, aralkoxy, CO2H, lower alkoxycarbonyl, lower alkanoyloxy, or lower alkyl which may be substituted by one or two HO groups; R1 = H, NH2, formylamino, lower alkanoylamino, mono(lower alkyl)amino, di(lower alkyl)amino, HO, lower alkoxy, aralkoxy, aralkyl, lower alkylcarbonyl, arylcarbonyl or lower alkyl [wherein the lower alkanoylamino, mono(lower alkyl)amino, di(lower alkyl)amino, lower alkoxy, aralkoxy, aralkyl, lower alkylcarbonyl, arylcarbonyl and lower alkyl may be substituted by one to five groups selected from among CO2H, CONH2, SO3H, NH2, cyano, mono(lower alkyl)amino, di(lower alkyl)amino, HO, heterocyclic which may be substituted by one to three HO groups or by lower alkyl which may be substituted by one to three hydroxy groups, and halogen atoms]; R2 = disaccharide group] or pharmaceutically acceptable salts thereof are prepared by microbial glycosidation with Saccharothrix aerocolonigenes or chemical modification. Thus, glycosidation of 2,1-dibenzyloxy-6-methylindolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7-dione with chloro-5-O-(2,3,4,6-tetra-O-benzyl-α-D-glucopyranosyl)-2,3-O-isopropylidene-α-D-ribofuranose in the presence of KOH and MgSO4 in MeCN at room temperature for 4 h followed by hydrogenolysis over Pd-C in CHCl3-MeOH under H atmospheric and treatment with a mixture of THF and 10% HCl/MeOH gave the intermediate (II; X = NMe, R2 = Q), which was stirred with 10% aqueous NaOH at room temperature for 1 h and neutralized with 2 N aqueous HCl to give the indolo[2,3-a]furano[3,4-c]carbazole II (X = O, R2 = Q) and then stirred with 2-hydrazino-1,3-propanediol in DMSO at room temperature for 3 h to give the title compound II [X = NNHCH(CH2OH)2, R2 = Q]. II [X = NNHCH(CH2OH)2, R2 = Q1] showed IC50 of 0.002, 0.036, 0.073, and 0.044 μM for inhibiting the proliferation of mouse leukemia P388, mouse colon cancer colon 26, human lung cancer PC-13, and human stomach cancer MKN-45 cells, resp.

L11 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:161149 CAPLUS
DOCUMENT NUMBER: 124:202948
TITLE: Preparation of β-(D-glucopyranosyl)

indolopyrrolocarbazole derivatives as antitumor agents

INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki

PATENT ASSIGNEE(S): Japan

SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9530682	A1	19951116	WO 1995-JP868	19950502
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PL 172609	B1	19971031	PL 1992-316369	19921127
US 5591842	A	19970107	US 1994-255980	19940608
CA 2190007	A1	19951116	CA 1995-2190007	19950502
CA 2190007	C	20030415		
CA 2413037	A1	19951116	CA 1995-2413037	19950502
CA 2413037	C	20070626		
AU 9523535	A	19951129	AU 1995-23535	19950502
AU 683749	B2	19971120		
EP 760375	A1	19970305	EP 1995-917506	19950502
EP 760375	B1	20031126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1153518	A	19970702	CN 1995-193830	19950502
CN 1106400	B	20030423		
JP 3038921	B2	20000508	JP 1995-528838	19950502
EP 1264836	A1	20021211	EP 2002-18235	19950502
EP 1264836	B1	20041201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 255121	T	20031215	AT 1995-917506	19950502
PT 760375	T	20040430	PT 1995-917506	19950502
ES 2206501	T3	20040516	ES 1995-917506	19950502
CN 1513865	A	20040721	CN 2002-2002146948	19950502
AT 283863	T	20041215	AT 2002-18235	19950502
PT 1264836	T	20050228	PT 2002-18235	19950502
ES 2230433	T3	20050501	ES 2002-18235	19950502
US 5804564	A	19980908	US 1996-737382	19961108
HK 1000890	A1	20040109	HK 1997-102485	19971217
US 5922860	A	19990713	US 1998-3602	19980107
HK 1067948	A1	20070713	HK 2005-100209	20050211

PRIORITY APPLN. INFO.:

JP 1994-119483	A	19940509
JP 1994-145648	A	19940603
US 1994-255980	A2	19940608
JP 1991-341916	A	19911129
JP 1992-69269	A	19920218
JP 1992-257306	A	19920901
US 1992-981070	A2	19921124
WO 1992-JP1549	W	19921127
US 1993-68097	B2	19930528
US 1993-166364	A2	19931214
CA 1995-2190007	A3	19950502
EP 1995-917506	A3	19950502
WO 1995-JP868	W	19950502

OTHER SOURCE(S): CASREACT 124:202948; MARPAT 124:202948

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds., β-D-glucopyranosyl-12,13-dihydro-5H-indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione derivs., [I; R1, R2 = OH, wherein R1 is present at the 1- or 2-position and R2 is present at the 10- or 11-position, provided when R1 is present at the 1-position, R2 is present at the 11-position, while when R1 is present at the 2-position, R2 is present at the 10-position] or pharmaceutically acceptable salts thereof are prepared Thus, 284 g 6-benzyloxyindole was treated with 2.7 L 1 M lithium hexamethyldisilazide in THF at -10°, stirred for 45 min, treated dropwise with a solution of 2,3-dibromo-N-methylmaleimide over 1 h, and stirred at 0° for 15 min to give an indolylmaleimide derivative (II; R = H, R3 = Br) (93%), which was acylated by di-tert-Bu dicarbonate in the presence of 4-dimethylaminopyridine in THF to give II (R = Boc, R3 = Br) (96%). The latter compound was similarly condensed with 6-benzyloxyindole in the presence of lithium hexamethyldisilazide in THF to give the bis(indolyl)maleimide II (R = Boc, R3 = Q, wherein R4 = H) (62%), which was stirred with 2,3,4,6-tetra-O-benzyl-D-glucose, Ph3P, and di-Et azodicarboxylate in THF to give the glucoside II (R = Q1, R3 = Q, wherein R4 = Boc) (62%), followed by treatment with 40% MeNH2 in MeOH at room temperature for 30 min to give II (R = Q1, R3 = Q, wherein R4 = H) (96%). This compound was cyclized by stirring with CuCl2 and mol. sieve in MeCOEt at room temperature for 2 h to give the β-(D-glucopyranosyl) indolopyrrolocarbazole derivative (III; X = NMe, R6 = CH2Ph), which was hydrogenolyzed over Pd black in CHCl3/MeOH under H atmospheric to give III (X = NMe, R6 = H) (88%), which was stirred with 10% aqueous NaOH at room temperature for 1 h and neutralized with 2 N aqueous HCl to give III (X = O, R6 = H) (100%) and then condensed with 2-hydrazino-1,3-propanediol in DMF at 80° for 1 h to give, after purification using Sephadex LH 20, the title compound III [X = NHCH(CH2OH)2, R6 = H] (77%). This compound in vitro inhibited the growth of cancer cells P388, MKN-45, PC-13, and DLD-1 at 0.0020, 0.011, 0.035, and 0.10 μM, resp. It at a total dosage of 3.0 mg/kg during 20 or 32 days depending on the treatment schedule inhibited 75% the growth of human stomach cancer MKN-45 transplanted in nude mice.

L11 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:671636 CAPLUS
DOCUMENT NUMBER: 119:271636
TITLE: Preparation of indolopyrrolocarbazole nucleosides as neoplasm inhibitors
INVENTOR(S): Katsuhisa, Kojiri; Hisao, Kondo; Hiroharu, Arakawa; Ohkubo, Mitsuru; Hiroyuki, Suda
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 545195	A1	19930609	EP 1992-119904	19921123
EP 545195	B1	19951122		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

CA 2083534	A1	19930530	CA 1992-2083534		19921123
CA 2083534	C	20030128			
AT 130617	T	19951215	AT 1992-119904		19921123
ES 2079774	T3	19960116	ES 1992-119904		19921123
IL 103844	A	19970930	IL 1992-103844		19921123
JP 06128283	A	19940510	JP 1992-336560		19921124
JP 2629542	B2	19970709			
AU 9229637	A	19930603	AU 1992-29637		19921126
AU 650376	B2	19940616			
NO 9204593	A	19930601	NO 1992-4593		19921127
NO 178929	B	19960325			
NO 178929	C	19960703			
WO 9311145	A1	19930610	WO 1992-JP1549		19921127
W: BG, BR, PL, RO, RU					
HU 65699	A2	19940728	HU 1992-3754		19921127
HU 217611	B	20000328			
PL 171468	B1	19970530	PL 1992-304729		19921127
PL 172316	B1	19970930	PL 1992-316368		19921127
PL 172609	B1	19971031	PL 1992-316369		19921127
RO 113469	B1	19980730	RO 1993-1067		19921127
RU 2117671	C1	19980820	RU 1993-50130		19921127
CZ 287304	B6	20001011	CZ 1992-3508		19921127
FI 106864	B1	20010430	FI 1992-5422		19921127
CN 1073948	A	19930707	CN 1992-114888		19921128
CN 1030987	B	19960214			
ZA 9209263	A	19930525	ZA 1992-9263		19921209
CN 1075482	A	19930825	CN 1993-100326		19930102
CN 1035878	B	19970917			
US 5589365	A	19961231	US 1995-381286		19950131
PRIORITY APPLN. INFO.:			JP 1991-341916	A	19911129
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			JP 1992-257306	A	19920901
			US 1992-981070	A2	19921124
			CS 1992-3508	A	19921127
			WO 1992-JP1549	W	19921127
			JP 1992-353623	A	19921214
			JP 1993-53035	A	19930218
			US 1993-68097	B1	19930528

OTHER SOURCE(S): MARPAT 119:271636

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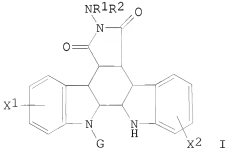

I

AB Title nucleosides I (R1R2 = H, alkyl, alkenyl, alkynyl, aryl, aralkyl, carboxyl, (un)substituted heterocycle or alkylidene; G = pentose, hexose;

X1X2 = H, halo, alkyl, alkylamino, OH, alkoxy, aralkoxy, carboxyl, alkoxycarbonyl), were prepared as neoplasm inhibitors. Thus, compds. I (R1R2 = H, CHO; CHCO2H; X1 = X2 = OH; G = β-D-glucopyranosyl) were prepared and showed a proliferation inhibition activity ED50 of 0.29 μM against mouse leukemia cell P388.

L11 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:81274 CAPLUS
DOCUMENT NUMBER: 118:81274
TITLE: A new indolopyrrolocarbazole antitumor substance, ED-110, a derivative of BE-13793C
AUTHOR(S): Tanaka, Seichi; Ohkubo, Mitsuru; Kojiri, Katsuhisa; Suda, Hiroyuki; Yamada, Akihiro; Uemura, Daisuke
CORPORATE SOURCE: Tsukuba Res. Inst., Banyu Pharm. Co., Ltd., Tsukuba, 300-33, Japan
SOURCE: Journal of Antibiotics (1992), 45(11), 1797-8
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
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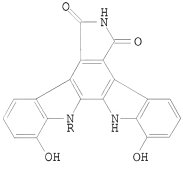

I

AB ED-110 (I; R = β-D-glucopyranosyl) was prepared from BE-13793C (I; R = H) by benzylation, benzyloxymethylation, glycosidation, and deprotection. The in vivo and in vitro antitumor activities of ED-110 are also reported.

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L3             34 S L1 FULL

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L4              5 S L3

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     FILE 'CAPLUS' ENTERED AT 10:26:09 ON 19 MAR 2008
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                   E IMAMURA HIDEAKI/AU
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L6             12 S E3
                   E HIRANO ATSUSHI/AU
L7            215 S E3
                   E OHKUBO MITSUSU/AU
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                   E AKAO ATSUSHI/AU
L9             23 S E3
L10           378 S L5 OR L6 OR L7 OR L8 OR L9
L11            14 S L10 AND INDOLOPYRROLOCARBAZOLE

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